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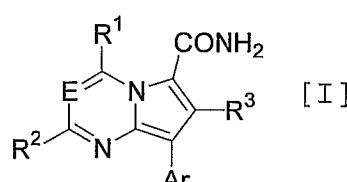
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(54) Title: PYRROLOPYRIMIDINE AND PYRROLOTRIAZINE DERIVATIVES AS CRF RECEPTOR ANTAGONISTS



(57) **Abstract:** An object of the present invention is to provide an antagonist against CRF receptors which is effective as a therapeutic or prophylactic agent for diseases in which CRF is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastritis, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external wound, inflammation, immunity-related diseases, alopecia, irritable bowel syndrome, sleep disorders, dermatitis, schizophrenia, pain, etc. A pyrrolopyrimidine or pyrrolotriazine derivative substituted with a carbamoyl group represented by the following formula [I]: has a high affinity for CRF receptors and is effective against diseases in which CRF is considered to be involved.

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A. CLASSIFICATION OF SUBJECT MATTER
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According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

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Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 98/35967 A (NEUROCRINE BIOSCIENCES, INC; McCARTHY, JAMES, R) 20 August 1998 (1998-08-20) cited in the application the whole document -----	1-9
Y	WO 98/08847 A (PFIZER INC; CHEN, YUHPYNG, LIANG) 5 March 1998 (1998-03-05) the whole document -----	1-9
Y	EP 0 729 758 A (PFIZER INC) 4 September 1996 (1996-09-04) the whole document -----	1-9

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Information on patent family members

International Application No

PCT/JP2005/000319

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
WO 9835967	A	20-08-1998	AU	6279598 A	08-09-1998
			CA	2281525 A1	20-08-1998
			EP	0970082 A2	12-01-2000
			JP	2001511813 T	14-08-2001
			WO	9835967 A2	20-08-1998
			US	6352990 B1	05-03-2002
			US	2002049207 A1	25-04-2002

WO 9808847	A	05-03-1998	AP	762 A	13-09-1999
			AU	735401 B2	05-07-2001
			AU	3456197 A	19-03-1998
			BG	103189 A	30-09-1999
			BR	9711970 A	24-08-1999
			CA	2263566 A1	05-03-1998
			CN	1227554 A	01-09-1999
			CZ	9900681 A3	17-11-1999
			EA	2769 B1	29-08-2002
			EP	0923582 A1	23-06-1999
			HR	970454 A1	31-08-1998
			HU	9903965 A2	28-03-2000
			WO	9808847 A1	05-03-1998
			ID	18249 A	19-03-1998
			JP	2000502723 T	07-03-2000
			JP	3621706 B2	16-02-2005
			JP	2005047930 A	24-02-2005
			KR	2000035934 A	26-06-2000
			NO	990927 A	26-02-1999
			NZ	333302 A	25-08-2000
			OA	10977 A	02-11-2001
			PL	332040 A1	16-08-1999
			SK	23399 A3	14-08-2000
			TR	9900389 T2	21-06-2000
			TW	575573 B	11-02-2004
			US	2002151713 A1	17-10-2002
			US	2005009823 A1	13-01-2005
			ZA	9707687 A	01-03-1999

EP 0729758	A	04-09-1996	AU	715380 B2	03-02-2000
			AU	4585996 A	12-09-1996
			CA	2170700 A1	03-09-1996
			CN	1141297 A ,C	29-01-1997
			EP	0729758 A2	04-09-1996
			IL	117229 A	12-02-2003
			JP	8259567 A	08-10-1996
			NZ	286103 A	25-08-2000
			ZA	9601696 A	01-09-1997